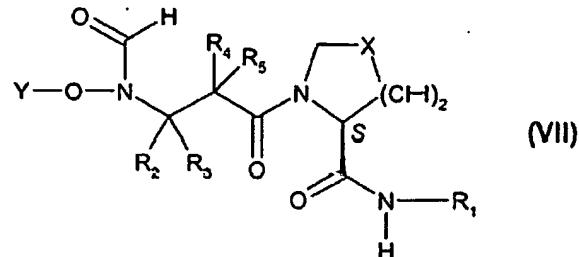


Amendments to the Claims

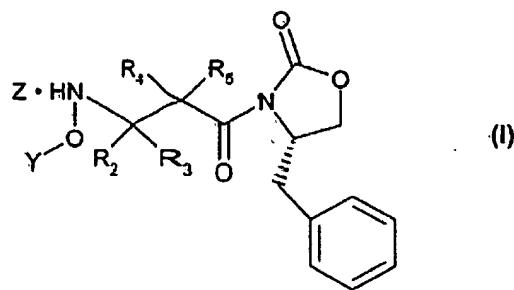
CLAIMS

1. A process for preparing a compound of the formula (VII)

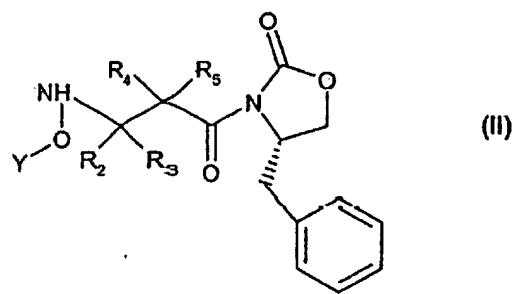


comprising Step 1A:

contacting a compound of the formula (I)

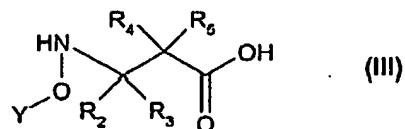


with a base in a suitable solvent to form the free base of compound (I), i.e., compound (II) of the formula (II)



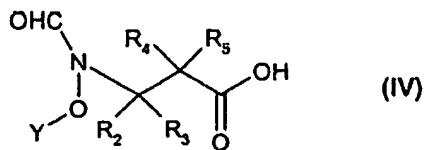
followed by Step 1B:

contacting compound (II) with a strong nucleophile/weak base in a suitable solvent under conditions to form compound (III) of the formula (III)



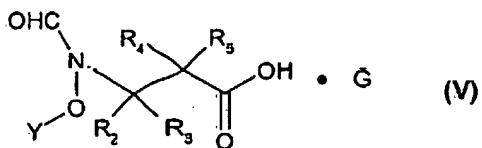
followed by Step 2A:

contacting compound (III) with a formulating agent in a suitable solvent under conditions suitable to form a compound of formula (IV)



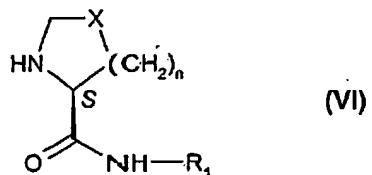
, followed by Step 2B:

contacting compound (IV) with an amine or an alkaline metal hydroxide in a suitable solvent under conditions to form a compound of formula (V)



, followed by Step 3:

contacting compound (V) with a compound of formula (VI)



in the presence of a suitable base and one or more coupling agents in a suitable solvent under conditions to form a compound of formula (VII)

wherein

Y is a hydroxy protecting group;

each of R₂, R₃, R₄ and R₅ is, independently, hydrogen or alkyl, or (R₂ and R₃) and/or (R₄ and R₅) collectively form a C₄₋₇cycloalkyl;

G is -O[⊖]metal[⊕] or -OH·amine;

X is -CH₂-, -S-, -CH(OH)-, -CH(OR)-, -CH(SH)-, -CH(SR)-, -CF₂-, -C=N(OR)- or -CH(F)-;

R is alkyl;

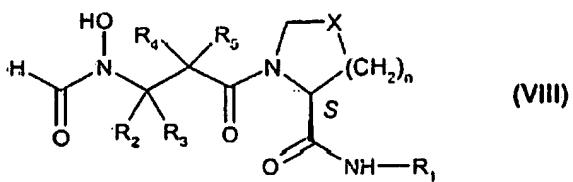
R₁ is aryl or heteroaryl;

Z is a strong organic or inorganic acid; and

n is 0-3, provided that when n is 0, X is -CH₂-.

2. The process of Claim 1 followed by Step 4, contacting the compound of formula VII, wherein R₁ is heteroaryl having an N heteroatom, with an oxidizing agent to form the corresponding N-oxide derivative.

3. The process of Claim 2 followed by the additional step of removing the hydroxyl protecting group of compound VII to form the compound of formula VIII:



wherein R₁, R₂, R₃, R₄, R₅, X and n are as defined above.

4. The process of Claim 1,

wherein

each of R₂, R₃ and R₅ is hydrogen;

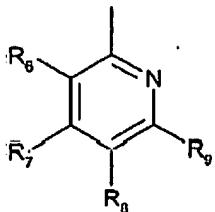
R₄ is butyl;

X is -CH₂-;

n is 1;

Y is benzyl or *t*-butyldimethylsilyl; and

R₁ is of the formula



wherein

R₆ and R₉ are hydrogen;

R₇ is hydrogen or C₁₋₇alkyl; and

R₈ is hydrogen, halogen or C₁₋₇alkyl.

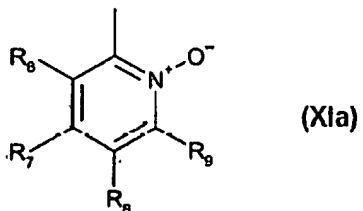
5. The process of Claim 4,

wherein

R₇ is hydrogen; and

R₈ is fluoro.

6. The process of claim 1, wherein R₁ is of the formula (XIa)



each of R₂, R₃ and R₅ is hydrogen;

R₄ is butyl;
X is -CH₂;
n is 1;
Y is benzyl or t-butyldimethylsilyl;
R₆ and R₉ are hydrogen;
R₇ is hydrogen or C₁₋₇alkyl; and
R₈ is hydrogen, halogen or C₁₋₇alkyl.

7. The process of Claim 6 wherein R₈ is halo or ethyl.

8. The process of Claim 6 wherein R₇ is hydrogen and R₈ is fluoro.

9. The process of Claim 1 wherein

for Step 1A the temperature is about 10° C to about 40° C, the water soluble base is sodium carbonate, sodium bicarbonate, potassium carbonate, potassium bicarbonate, or an alkaline metal hydroxide, and the solvent is water/ethyl acetate,

for Step 1B the temperature is about -10° C to about 10° C, the strong nucleophile/weak base is lithium hydroperoxide, and the solvent is THF/water,

for Step 2A the temperature is about -20° C to about 20° C, the formylation agent is formic acetic anhydride, and the solvent is ethyl acetate,

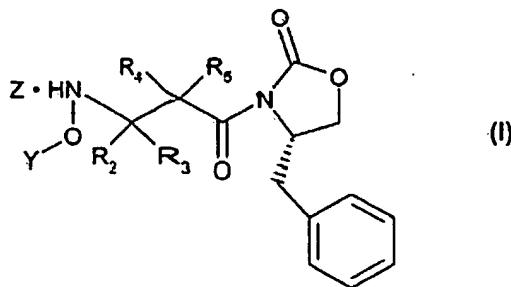
for Step 2B the temperature is about -5° C to about 40° C, the solvent is heptane and the G substituent is of the formula -OH•amine wherein the amine is dicyclohexylamine,

for Step 3 the temperature is about 10° C to about 40° C the solvent is water/ethyl acetate, and the coupling agent is EDCI/HOBt, and

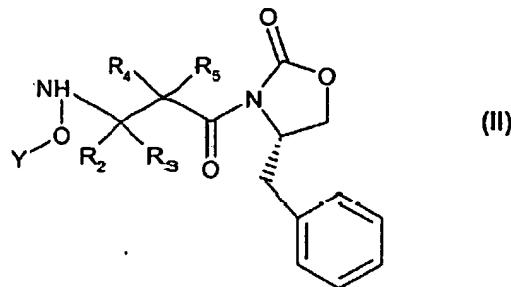
for Step 4 the temperature is about 10° C to about 35° C, the solvent is ethyl acetate and the oxidizing agent is urea/hydrogen peroxide with phthalic anhydride or magnesium monoperoxyphthalate.

10. A process comprising

contacting a compound of the formula:(I)



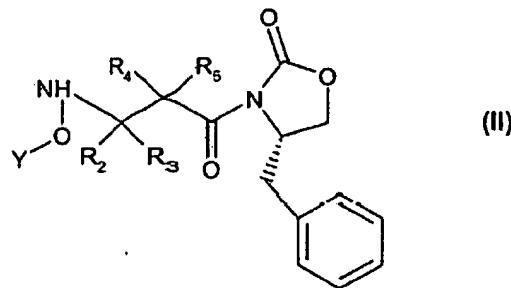
with a base in a suitable solvent to form compound (II) of formula



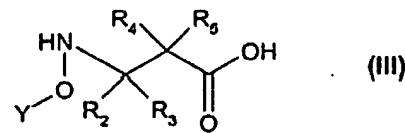
wherein

Y is a hydroxy protecting group;
each of R₂, R₃, R₄ and R₅ is, independently, hydrogen or alkyl, or (R₂ and R₃) and/or (R₄ and R₅) collectively form a C₄₋₇cycloalkyl;
and Z is a strong organic or inorganic acid.

11. A process comprising contacting compound (II) of the formula



with a strong nucleophile/weak base in a suitable solvent under conditions to form compound (III) of the formula

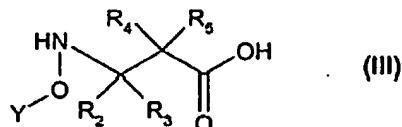


wherein

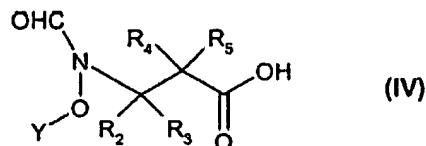
Y is a hydroxyprotecting group; and
each of R₂, R₃, R₄ and R₅ is, independently, hydrogen or alkyl, or (R₂ and R₃) and/or (R₄ and R₅) collectively form a C₄₋₇cycloalkyl.

12. A process comprising

contacting compound (III) of the formula



with a formulating agent in a suitable solvent under conditions suitable to form a compound of formula (IV)



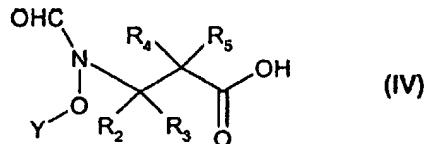
wherein

Y is a hydroxy protecting group; and

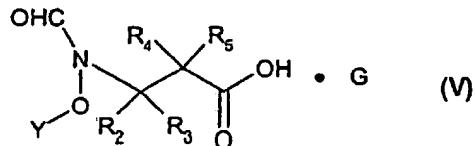
each of R₂, R₃, R₄ and R₅ is, independently, hydrogen or alkyl, or (R₂ and R₃) and/or R₄ and R₅ collectively form a C₄₋₇cycloalkyl.

13. A process comprising

contacting compound (IV) of the formula



with an amine or an alkaline metal hydroxide in a suitable solvent under conditions to form a compound of formula (V)



wherein

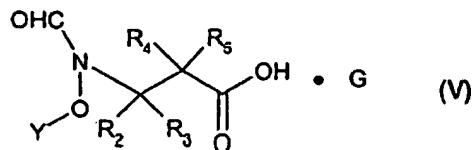
Y is a hydroxy protecting group;

each of R₂, R₃, R₄ and R₅ is, independently, hydrogen or alkyl, or (R₂ and R₃) and/or (R₄ and R₅) collectively form a C₄₋₇cycloalkyl; and

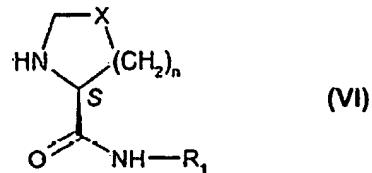
G is -O[⊖]metal[⊕] or -OH·amine.

14. A process comprising

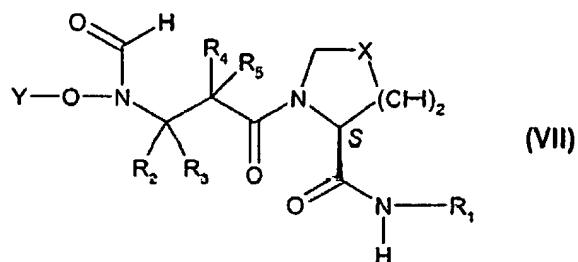
contacting compound (V) of the formula



with a compound of formula (VI)



in the presence of a suitable base and one or more coupling agents in a suitable solvent under conditions to form a compound of formula (VII)



wherein

Y is a hydroxy protecting group;

each of R₂, R₃, R₄ and R₅ is, independently, hydrogen or alkyl, or (R₂ and R₃) and/or (R₄ and R₅) collectively form a C₄₋₇cycloalkyl;

G is -O[⊖]metal[⊕] or -OH•amine;

X is -CH₂-; -S-; -CH(OH)-; -CH(OR)-; -CH(SH)-; -CH(SR)-; -CF₂-; -C=N(OR)- or- CH(F)-;

R is alkyl;

R₁ is aryl or heteroaryl; and

n is 0-3, provided that when n is 0, X is -CH₂-.